(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 21 July 2005 (21.07.2005)

PCT

(10) International Publication Number WO 2005/065688 A1

- (51) International Patent Classification⁷: A61K 31/501, A61P 7/02, C07D 403/12, 403/14
- (21) International Application Number:

PCT/SE2005/000011

- (22) International Filing Date: 5 January 2005 (05.01.2005)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

0400014-7

8 January 2004 (08.01.2004) SE

- (71) Applicant (for all designated States except US): AS-TRAZENECA AB [SE/SE]; S-151 85 Södertälje (SE).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): BRATT, Emma [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). CHEN, Yantao [CN/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). GRANBERG, Kenneth [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE). NILSSON, Ingemar [SE/SE]; AstraZeneca R & D Mölndal, S-431 83 Mölndal (SE).

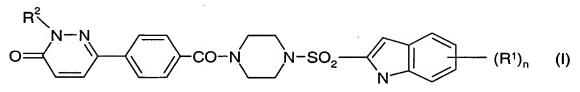
- (74) Agent: ASTRAZENECA; Global Intellectual Property, S-151 85 Södertälje (SE).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NEW DERIVATIVES OF 6-4{4-[1H-INDOLE-2-SULPHONYL)-PIPERAZIN-1-CAR-BONYL-PHENYL]}PYRADIZIN-3-ONE



(57) Abstract: The invention relates to heterocyclic derivatives of formula (I), wherein R^2 is amino, a group OR^4 or a group $-Y-R^5$ where R^4 is hydrogen or C_{1-4} alkyl, Y is C_{1-4} alkylene, R^5 is hydrogen, halo, hydroxy, C_{1-2} alkoxy, C_{1-2} alkoxy, C_{1-2} alkoxy, C_{1-2} alkoxy, or a group OR^4 or a group OR^4 or a group OR^4 where OR^7 and OR^8 where OR^7 and OR^8 are independently selected from hydrogen, OR^4 0 or alkoxy, OR^4 1 or alkoxy, OR^4 1 or alkoxy, or OR^4 2 or OR^4 3 or OR^4 4 together with the nitrogen atom to which they are attached form a saturated 5-6-membered heterocyclic ring which optionally contains an additional heteroatom; n is one or two and each OR^4 1 is independently selected from halo, halo OR^4 1 hydroxy, oxo, amino, OR^4 2 calkylamino or di- OR^4 2 dialkylamino; or a pharmaceutically acceptable salt thereof. These compounds possess antithrombotic and anticoagulant properties and are accordingly useful in methods of treatment of humans or animals. The invention also relates to processes for the preparation of the heterocyclic derivatives, to pharmaceutical compositions containing them and to their use in the manufacture of medicaments for use in the production of an antithrombotic or anticoagulant effect.

